

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
23 June 2005 (23.06.2005)

PCT

(10) International Publication Number
WO 2005/056519 A1

(51) International Patent Classification⁷: C07C 271/22,
275/16, 317/40, C07D 295/20, C07K 5/02

(21) International Application Number:
PCT/JP2004/018692

(22) International Filing Date: 8 December 2004 (08.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2003-415764 12 December 2003 (12.12.2003) JP
2004-234164 11 August 2004 (11.08.2004) JP

(71) Applicant (for all designated States except US): SENJU
PHARMACEUTICAL CO., LTD. [JP/JP]; 5-8, Hira-
nomachi 2-chome, Chuo-ku, Osaka-shi, Osaka 5410046
(JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): SHIRASAKI,
Yoshihisa [JP/JP]; 7-26-202, Karibadai 3-chome,
Nishi-ku, Kobe-shi, Hyogo 6512272 (JP). MIYASHITA,
Hiroyuki [JP/JP]; 366-1-307, Minamibefu 4-chome,
Nishi-ku, Kobe-shi, Hyogo 6512116 (JP). NAKAMURA,
Masayuki [JP/JP]; 16-10, Izumidai 3-chome, Kita-ku,
Kobe-shi, Hyogo 6511141 (JP). INOUE, Jun [JP/JP];
26-7, Shirakawadai 1-chome, Suma-ku, Kobe-shi, Hyogo
6540103 (JP).

(74) Agent: IWATANI, Ryo; Sakurabashi Chiyoda Bldg.
5F, 1-27, Dojima 2-chome, Kita-ku, Osaka-shi, Osaka
5300003 (JP).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

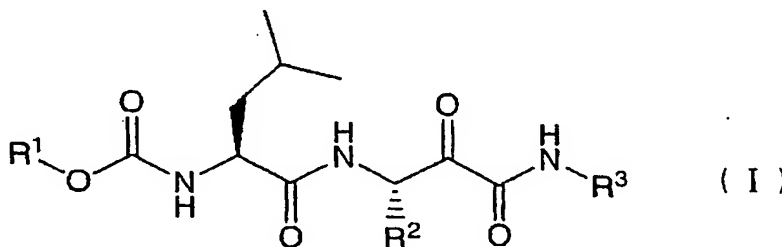
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted
a patent (Rule 4.17(ii)) for the following designations AE,
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE,
EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM,
ZW. ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA,
SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR),
OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

[Continued on next page]

(54) Title: ALPHA-KETOAMIDE DERIVATIVE, AND PRODUCTION METHOD AND USE THEREOF



(57) Abstract: The present invention provides a compound represented by the formula (I): (INSERT CHEMICAL FORMULA) (wherein R¹ is a lower alkyl substituted by a lower alkoxy or a heterocyclic group, or a heterocyclic group; R² is a lower alkyl optionally substituted by a phenyl; and R³ is a lower alkyl optionally substituted by a halogen, a lower alkoxy or a phenyl, or a fused polycyclic hydrocarbon group), which is well absorbed orally, exhibits durability of good blood level and has potent calpain inhibitory activity.